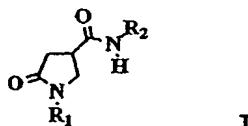


Patent claims

1. A pyrrolidonecarboxamide of the formula

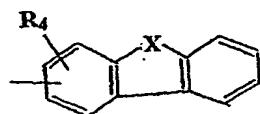


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in which

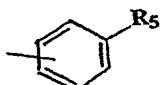
R¹ is phenyl which is optionally monosubstituted or disubstituted in the phenyl radical by alkyl, alkoxy, dialkylamino, halogen or trifluoromethyl, benzyl, phenylethyl or α-hydroxyphenylethyl; naphthyl or naphthylmethyl; thienyl-, furyl-, pyridyl-, 1-alkylpyrrolidin-2-yl-, pyrrolidino- or morpholino-alkyl; or cycloalkyl which can optionally possess a fused-on benzene ring;

R² is a radical of the formula



(a)

or



(b)

20 X is -CH₂-, -CO-, -O- or -NR³-;

R³ is hydrogen or alkyl;

R⁴ is hydrogen or alkoxy;

R⁵ is phenyl, heteroalkyl, aryloxy, alkoxy, alkanoyl or -NR⁶R⁷;

25 R⁶ is hydrogen, alkyl, aralkyl, cycloalkylalkyl or alkoxy carbonylalkyl; and

R⁷ is aryl, heteroaryl, alkyl, hydroxylalkyl or acyl; pharmaceutically utilizable acid addition salts of

basic compounds of the formula I, pharmaceutically utilizable salts of acid compounds of the formula I with bases, pharmaceutically utilizable esters of compounds of the formula I which contain hydroxyl or 5 carboxyl groups, and hydrates or solvates thereof.

2. A compound as claimed in claim 1, in which R¹ is phenyl, 4-tolyl, 2,5-dimethylphenyl, 2-isopropylphenyl, 10 3-methoxyphenyl, 2-methyl-5-methoxyphenyl, benzyl, 2-phenylethyl, 2-(2-pyridyl)ethyl, 2-(2-thienyl)ethyl, 2-indanyl or 2-morpholinoethyl.

3. A compound as claimed in claim 1, in which R¹ is cycloheptyl, 2-hydroxy-2-phenylethyl, 2-thienylmethyl, 15 2-furanylmethyl, 4-chlorobenzyl, 3-fluorophenyl, 2,4-dimethoxybenzyl or 2-chlorobenzyl.

4. A compound as claimed in claim 1, in which R¹ is 20 2-naphthyl, naphthalen-1-ylmethyl, 4-dimethylamino-phenyl, 2-pyrrolidin-1-ylethyl, 1-methylpyrrolidin-2-ylethyl, 4-isopropylphenyl or 3,5-bistrifluoromethylphenyl.

5. A compound as claimed in claim 1, in which R¹ is 25 2,5-dimethylphenyl, 2-isopropylphenyl or 2-methyl-5-methoxyphenyl.

6. A compound as claimed in one of claims 1 to 5, in which R² is biphenyl-4-yl, 4-methoxyphenyl, 4-phenoxy-phenyl, 4-dimethylaminophenyl, 4-diethylaminophenyl, 30 4-phenylaminophenyl, 4-[N-ethyl-N-(2-hydroxyethyl)-amino]phenyl, 4-(N-ethyl-N-isopropylamino)phenyl, 4-N-(4,6-dimethyl-2-pyrimidinyl)aminophenyl, 4-[N-ethyl-N-(4,6-dimethyl-2-pyrimidinyl)amino]phenyl, 4-[N-methyl-35 N-(4,6-dimethyl-2-pyrimidinyl)amino]phenyl, 4-acetylphenyl, 9H-fluoren-2-yl, 9-oxo-9H-fluoren-2-yl or 9-ethylcarbazol-3-yl.

7. A compound as claimed in one of claims 1 to 5, in which R² is 4-(N-ethoxycarbonylmethyl-N-phenylamino)-phenyl, 4-(N-ethyl-N-phenylamino)phenyl, 4-(N-methyl-N-phenylaminophenyl, 4-(N-cyclopropylmethyl-N-phenyl-amino)phenyl, 4-(N-isobutyl-N-phenylamino)phenyl, 4-(2-methoxybenzoylamino)phenyl, 4-(2,2-dimethylpropionylamino)phenyl, 4-(3-methylbutyrylaminophenyl, 4-(cyclopropanecarbonylamino)phenyl, 4-(3-fluorobenzoylamino)phenyl or 4-[(furan-2-carbonyl)amino]phenyl.

10

8. A compound as claimed in one of claims 1 to 5, in which R² is biphenyl-3-yl, 9H-fluoren-1-yl, 2-methoxydibenzofuran-3-yl, 4-(N-isopropyl-N-phenylamino)phenyl, 4-(N-benzyl-N-phenylamino)phenyl, 4-acetylaminophenyl, 4-benzoylaminophenyl, 4-phenyl-acetylaminophenyl, 4-[(benzo[1,3]dioxole-5-carbonyl)-amino]phenyl or 4-(4-methoxybenzoylamino)phenyl.

20

9. A compound as claimed in one of claims 1 to 5, in which R² is 9-ethyl-9H-carbazol-3-yl, 4-[N-ethyl-N-(4,6-dimethyl-2-pyrimidinyl)amino]phenyl, 4-[N-methyl-N-(4,6-dimethyl-2-pyrimidinyl)amino]phenyl, 4-(4,6-dimethyl-2-pyrimidinyl)amino]phenyl, 4-phenylamino-phenyl, 4-diethylaminophenyl, 4-(N-ethyl-N-isopropylamino)phenyl, 4-(N-ethoxycarbonylmethyl-N-phenylamino)phenyl, 4-(N-ethyl-N-phenylamino)phenyl, 4-(N-methyl-N-phenylamino)phenyl or 2,4-dimethoxybenzyl.

10. rac. N-(9-Ethyl-9H-carbazol-3-yl)-5-oxo-1-(2-

30

pyridin-2-ylethyl)pyrrolidine-3-carboxamide;

rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-(2-hydroxy-

2-phenylethyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-(4-Diethylaminophenyl)-1-(2,5-dimethylphenyl)-5-oxopyrrolidine-3-carboxamide;

35

rac. N-(9-Ethyl-9H-carbazol-3-yl)-5-oxo-1-(2-thiophen-2-ylethyl)pyrrolidine-3-carboxamide;

rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-(4-chlorobenzyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-(9-Ethyl-9H-carbazol-3-yl)-5-oxo-1-thiophen-2-ylmethylpyrrolidine-3-carboxamide;

rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-furan-2-ylmethyl-5-oxopyrrolidine-3-carboxamide;

5 rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-(2-isopropyl-phenyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-(5-methoxy-2-methylphenyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-[4-(Ethylisopropylamino)phenyl]-1-(2,5-dimethyl-10 phenyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-(9-Ethyl-9H-carbazol-3-yl)-5-oxo-1-phenethylpyrrolidine-3-carboxamide;

rac. Ethyl [(4-[(1-(2,5-dimethylphenyl)-5-oxopyrrolidine-3-carbonyl]amino)phenyl]phenylamino]acetate;

15 rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-cycloheptyl-5-oxopyrrolidine-3-carboxamide;

rac. N-{4-[(4,6-Dimethylpyrimidin-2-yl)ethylamino]-phenyl}-1-(5-methoxy-2-methylphenyl)-5-oxopyrrolidine-3-carboxamide;

20 rac. N-{4-[Ethyl-(2-hydroxyethyl)amino]phenyl}-1-(2,5-dimethylphenyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-[4-(Ethylphenylamino)phenyl]-1-(2,5-dimethyl-phenyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-(3-methoxyphenyl)-25 5-oxopyrrolidine-3-carboxamide;

rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-(2-chlorobenzyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-[4-(4,6-Dimethylpyrimidin-2-ylamino)phenyl]-1-(2,5-dimethylphenyl)-5-oxopyrrolidine-3-carboxamide;

30 rac. N-{4-[(4,6-Dimethylpyrimidin-2-yl)methylamino]-phenyl}-1-(2,5-dimethylphenyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-(9-Ethyl-9H-carbazol-3-yl)-5-oxo-1-phenyl-pyrrolidine-3-carboxamide;

35 rac. N-{4-[(4,6-Dimethylpyrimidin-2-yl)methylamino]-phenyl}-5-oxo-1-p-tolylpyrrolidine-3-carboxamide;

rac. N-[4-(Isopropylphenylamino)phenyl]-1-(2,5-dimethylphenyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-[4-(Ethylphenylamino)phenyl]-1-(2-isopropyl-phenyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-[4-(4,6-Dimethylpyrimidin-2-yl)ethylamino]-phenyl]-5-oxo-1-(2-pyridin-2-ylethyl)pyrrolidine-
5 3-carboxamide;
rac. N-[4-(4,6-Dimethylpyrimidin-2-ylamino)phenyl]-1-(2-isopropylphenyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-[4-(4,6-Dimethylpyrimidin-2-ylamino)phenyl]-1-(5-methoxy-2-methylphenyl)-5-oxopyrrolidine-
10 3-carboxamide;
rac. N-[4-(Methylphenylamino)phenyl]-1-(2,5-dimethyl-phenyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-[4-(Methylphenylamino)phenyl]-1-(2-isopropyl-phenyl)-5-oxopyrrolidine-3-carboxamide;
15 rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-(4-isopropyl-phenyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-(3-fluorophenyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-(4-Phenylaminophenyl)-1-(2,5-dimethylphenyl)-
20 5-oxopyrrolidine-3-carboxamide;
rac. N-[4-(4,6-Dimethylpyrimidin-2-ylamino)phenyl]-1-indan-2-yl-5-oxopyrrolidine-3-carboxamide;
rac. N-[4-(Ethylphenylamino)phenyl]-1-(5-methoxy-2-methylphenyl)-5-oxopyrrolidine-3-carboxamide;
25 rac. N-[4-(4,6-Dimethylpyrimidin-2-yl)methylamino]-phenyl]-1-(2-isopropylphenyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-benzyl-5-oxopyrrolidine-3-carboxamide;
30 rac. N-[4-(4,6-Dimethylpyrimidin-2-ylamino)phenyl]-5-oxo-1-p-tolylpyrrolidine-3-carboxamide;
rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-indan-2-yl-5-oxo-pyrrolidine-3-carboxamide;
rac. N-[4-(4,6-Dimethylpyrimidin-2-yl)ethylamino]-
35 phenyl]-1-(2-hydroxy-2-phenylethyl)-5-oxo-pyrrolidine-3-carboxamide;
rac. N-(Biphenyl-4-yl)-1-(2,5-dimethylphenyl)-5-oxopyrrolidine-3-carboxamide;

rac. N-[4-(Cyclopropylmethylphenylamino)phenyl]-
1-(5-methoxy-2-methylphenyl)-5-oxopyrrolidine-3-carbox-
amide;
rac. N-[4-(Isopropylphenylamino)phenyl]-1-(2-isopropyl-
5
phenyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-(4-Phenylaminophenyl)-1-(2-isopropylphenyl)-
5-oxopyrrolidine-3-carboxamide;
rac. N-{4-[(4,6-Dimethylpyrimidin-2-yl)methylamino]-
phenyl}-1-(5-methoxy-2-methylphenyl)-5-oxopyrrolidine-
10
3-carboxamide;
rac. N-{4-[(4,6-Dimethylpyrimidin-2-yl)ethylamino]-
phenyl}-1-(3-methoxyphenyl)-5-oxopyrrolidine-3-carbox-
amide;
rac. N-{4-[(4,6-Dimethylpyrimidin-2-yl)methylamino]-
15
phenyl}-5-oxo-1-m-tolylpyrrolidine-3-carboxamide;
rac. N-[4-(Cyclopropylmethylphenylamino)phenyl]-1-(2,5-
dimethylphenyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-{4-[(4,6-Dimethylpyrimidin-2-yl)ethylamino]-
phenyl}-1-(2-isopropylphenyl)-5-oxopyrrolidine-
20
3-carboxamide;
rac. Ethyl [(4-[(1-(5-methoxy-2-methylphenyl)-5-oxopyr-
rolidine-3-carbonyl]amino)phenyl]phenylamino]acetate;
rac. N-[4-(Cyclopropylmethylphenylamino)phenyl]-
1-(2-isopropylphenyl)-5-oxopyrrolidine-3-carboxamide;
25
rac. N-[4-(Ethylphenylamino)phenyl]-1-indan-2-yl-5-oxo-
pyrrolidine-3-carboxamide; and
rac. N-[4-(Isobutylphenylamino)phenyl]-1-(2-isopropyl-
phenyl)-5-oxopyrrolidine-3-carboxamide.

30 11. rac. N-[4-(2-Methoxybenzoylamino)phenyl]-1-(2,5-
dimethylphenyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-[4-(2,2-Dimethylpropionylamino)phenyl]-1-
(2,5-dimethylphenyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-(2-morpholin-4-yl-
35
ethyl)-5-oxopyrrolidine-3-carboxamide;
rac. N-(9-Ethyl-9H-carbazol-3-yl)-5-oxo-1-p-tolyl-
pyrrolidine-3-carboxamide; and
rac. N-(9-Ethyl-9H-carbazol-3-yl)-1-(2,4-dimethoxy-

benzyl)-5-oxopyrrolidine-3-carboxamide.

12. rac. N-[4-(4,6-Dimethylpyrimidin-2-ylamino)-phenyl]-1-(4-dimethylaminophenyl)-5-oxopyrrolidine-3-

5 carboxamide; and

rac. N-[4-(4,6-Dimethylpyrimidin-2-yl)methylamino-phenyl]-1-(4-dimethylaminophenyl)-5-oxopyrrolidine-3-carboxamide.

10 13. A compound as claimed in one of claims 1 to 12 for use as a therapeutic active compound.

14. A pharmaceutical, comprising a galenic administration form which comprises a compound as

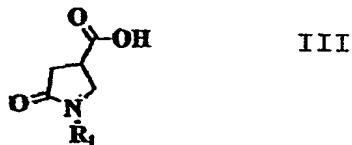
15 claimed in one of claims 1 to 12.

15. The use of compounds as claimed in one of claims 1 to 12 for preventing or treating arthritis, diabetes and, especially, eating disturbances and obesity, or

20 for producing corresponding pharmaceuticals.

16. A process for preparing compounds as claimed in one of claims 1 to 12, characterized in that a pyrrolidinecarboxylic acid of the formula

25



in which R¹ has the meaning given in claim 1, or a reactive derivative thereof, is reacted with an amine of the formula



30

in which R² has the meaning given in claim 1, or a

reactive derivative thereof, and, if desired, a stereoisomeric mixture which may possibly be obtained is resolved.

5 17. A process for preparing compounds of the formula I defined in claim 1, in which R² is a radical of the formula (b), R⁵ is -NR⁶R⁷ and R⁷ is acyl, characterized in that a corresponding compound, in which, however, R⁷ is hydrogen, is acylated and, if desired, a
10 stereoisomeric mixture which may possibly be obtained is resolved.

18. A compound of the formula IV defined in claim 16, or a corresponding nitro precursor, selected from:

15

Ethyl [(4-nitrophenyl)phenylamino]acetate;
Ethyl [(4-aminophenyl)phenylamino]acetate;
Cyclopropylmethyl(4-nitrophenyl)phenylamine;
N-Cyclopropylmethyl-N-phenylphénylene-1,4-diamine;
20 Isobutyl(4-nitrophenyl)phenylamine;
N-Isobutyl-N-phenylphénylene-1,4-diamine;
Ethyl [(4-nitrophenyl)phenylamino]pentanoate;
Ethyl [(4-aminophenyl)phenylamino]pentanoate;
Benzyl(4-nitrophenyl)phenylamine; and
25 N-Benzyl-N-phenylphénylene-1,4-diamine.

19. A compound of the formula III defined in claim 16, selected from:

30 rac. 1-Indan-2-yl-5-oxopyrrolidine-3-carboxylic acid;
rac. 1-Naphthalen-2-yl-5-oxopyrrolidine-3-carboxylic acid;
rac. 1-(5-Methoxy-2-methylphenyl)-5-oxopyrrolidine-
3-carboxylic acid;
35 rac. 5-Oxo-1-(2-pyridin-2-ylethyl)pyrrolidine-3-car-
boxylic acid;
rac. 1-Cycloheptyl-5-oxopyrrolidine-3-carboxylic acid;
rac. 1-(2-Hydroxy-2-phenylethyl)-5-oxopyrrolidine-

3-carboxylic acid;
rac. 5-Oxo-1-(2-pyrrolidin-1-ylethyl)pyrrolidine-3-carboxylic acid;
rac. 1-[2-(1-Methylpyrrolidin-2-yl)ethyl]-5-oxopyr-
5 roolidine-3-carboxylic acid; and
rac. 1-(3-Fluorophenyl)-5-oxopyrrolidine-3-carboxylic acid.